

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
23 October 2003 (23.10.2003)

PCT

(10) International Publication Number
WO 03/086395 A1

(51) International Patent Classification⁷: **A61K 31/439**,
C07D 221/22, A61P 35/00

TROTTER, B. Wesley [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(21) International Application Number: PCT/US03/10737

(74) Common Representative: **MERCK & CO., INC.**; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(22) International Filing Date: 8 April 2003 (08.04.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/372,644 12 April 2002 (12.04.2002) US

(71) Applicant (for all designated States except US): **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **KIM, Annette** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US); **STUMP, Craig, A.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US); **DINSMORE, Christopher, J.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US); **GRAHAM, Samuel, L.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US); **WILLIAMS, Theresa, M.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US); **NGUYEN, Diem, N.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **TYROSINE KINASE INHIBITORS**

(57) Abstract: The present invention relates to compounds that are capable of inhibiting, modulating and/or regulating signal transduction of both receptor-type and non-receptor type tyrosine kinases. The compounds of the instant invention possess a core structure that comprises a benzazocine moiety. The present invention is also related to the pharmaceutically acceptable salts, hydrates and stereoisomers of these compounds.

510, 611



WO 03/086395 A1